ABSTRACT

A glycopeptide of the formula A_1-A_2-A_3-A_4-A_5-A_6-A_7, in which each dash represents a covalent bond; wherein A_1 comprises a modified or unmodified α-amino acid residue, alkyl, aryl, aralkyl, alkanoyl, aroyl, aralkanoyl, heterocyclic, heterocyclic-carbonyl, heterocyclic-alkyl, heterocyclic-alkyl-carbonyl, alkylsulfonyl, arylsulfonyl, guanidinyl, carbamoyl, or xanthyl; wherein each of A_2 to A_7 comprises a modified or unmodified α-amino acid residue, whereby (i) A_1 is linked to an amino group on A_2, (ii) each of A_2, A_4 and A_6 bears an aromatic side chain, which aromatic side chains are cross-linked together by two or more covalent bonds, and (iii) A_7 bears a terminal carboxyl, ester, amide, or N-substituted amide group;

and wherein one or more of A_1 to A_7 is linked via a glycosidic bond to one or more glycosidic groups each having one or more sugar residues, at least one of the sugar residues bearing one or more substituents of the formula YXR, $N^+(R_1)=CR_2R_3$, $N=PR_1R_2R_3$, $N^+R_1R_2R_3$ or $P^+R_1R_2R_3$ in which Y is a single bond, O, NR_1 or S; X is O, NR_1, S, SO_2, C(O)O, C(O)S, C(S)O, C(S)S, $C(NR_1)O$, $C(O)NR_1$, or halo (in which case Y and R are absent).

A chemical library comprising a plurality of the glycopeptides of the invention.

A method for preparing a glycopeptide by glycosylation of an aglycone derived from a glycopeptide antibiotic.

A method for preparing a glycopeptide by preparing a pseudoaglycone from a glycopeptide antibiotic and glycosylating the pseudoaglycone.